L20 ANSWER 31 OF 47 USPATFULL

ACCESSION NUMBER: 95:58256 USPATFULL

TITLE: Complexes of functionalized tetraazacyclododecane

chelates with bismuth, lead, ytriium, actinium, or

lanthanide metal ions

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NUMBER OF CLAIMS: 8
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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SUMM . . . tetraacetic acid (EDTA), useful for binding metals other than

copper, such as Indium. These compounds are useful for imaging of

tumors.

SUMM The usefulness of radionuclide materials in **cancer** therapy is disclosed in the article, Kozak et al., "Radionuclide-conjugated monoclonal antibodies: A Synthesis of Immunology, in Organic Chemistry and. . .

SUMM . . . from the group consisting of hormones, steroids, enzymes, and proteins. These haptens are desirable because of their site specificity to tumors and/or various organs of the body. The preferred hapten for use in treating cellular disorders or various disease conditions is. . .

SUMM An embodiment of the invention involves a ligand-

hapten conjugate of formula II: ##STR9## This

conjugate chelates metal ions. It is desirable to expose many metals to the protein conjugate. . . conjugate. The kinetics of the formation reactions for these compounds are so rapid that it is desirable to have the ligand-hapten conjugate available in

the pharmacy immediately prior to use. The conjugate can then be mixed in the radionuclide to form a. . . subsequently, the metal chelate conjugate formed can be purified by, for example, size exclusion high pressure liquid chromatography. A desirable hapten for the

ligand conjugate can be selected from the group

consisting of hormones, steroids, enzymes, and proteins.

SUMM . . . Q can be a monoclonal antibody, wherein the antibody is directed and created against an epitope found specifically on the

tumor cells. Thus, when Pb.sup.212 is transported to the antegen
site and, subsequently, decays in secular equilibrium to Bi.sup.212 and
its. . . be easily reached within the 1 hour half-life of
Bi.sup.212.

It is also possible to use this method to treat cancers, where the cells are widely differentiated. This might be preferred where only a long-range beta emitter, such as Y.sup.90, is. . .

- SUMM . . . diagnostic tool. Thus, when Pb.sup.203 is linked by use of the chelate to a hapten, which specifically localizes in a **tumor**, then that particular localization can be three dimensionally mapped for diagnostic purposes in vivo by single photon emission tomography. Alternatively, . . .
- DETD . . . to treat adult T-cell leukemia in mammals. T-cell leukemia is characterized by extraordinarily large amounts of IL-2 receptors on the tumor cells. The antibody localizes specifically to these tumor cells to deliver its radiation.
- DETD . . . antibody B72.3, which binds specifically to a glycoprotein on LS-174T cells. This glycoprotein is also in humans who have colon cancer. The model system of this example is an athymic mouse, into which has been implanted LS-174T cells to develop a tumor on the flank of the animal where the cells were implanted. The diagnostic method used to visualize the growing tumor involves the following components. The chelate of compound 12 is first coupled
- to gadolinium or Pb.sup.203 by mixture of the.
- DETD . . . is injected or introduced into body fluids of a mammal. The gadolinium then localizes along with the antibody to the **tumor** and conventional resonance magnetic imaging techniques are used to visualize the **tumor**.
- DETD . . . metal-labeled protein conjugate is similarly introduced into the mammal, but gamma camera or SPECT imaging is used to visualize the tumor.